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L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:299537 CAPLUS

DOCUMENT NUMBER: 144:357642

TITLE: Preparation of quaternized chelidonine and related

alkaloid derivatives for use in pharmaceutical

compositions

INVENTOR(S): Nowicky, Wassyl

PATENT ASSIGNEE(S): Austria

SOURCE: PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.					KIND DATE				APPLICATION NO.						DATE			
	WO 2006032380			A1	_	20060330		WO 2005-EP9699						20050909					
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
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PRIO:	PRIORITY APPLN. INFO.:									EP 2004-22299						A 20040920			
										,	WO 2005-EP9699					W 20050909			

OTHER SOURCE(S): MARPAT 144:357642

Ι

GΙ

AB Quaternized alkaloid reaction products, such as I (R1 = OH, SH, alkyl, etc.), were prepared by reaction of an alkaloid with a quaternizing agent, such as thiotepa. These quaternized alkaloids were claimed for use in the treatment of immunol. or metabolic dysfunction, cancer, bacterial, fungal and viral infections, radiation damage, epilepsy, multiple sclerosis, skin diseases, postoperative wounds, pain, sleeping disease, herpes infections,

influenza virus infections, skin tumors, allergies, chronic fatigue syndrome, osteoporosis, rheumatic diseases, and scars. Chelidonine quaternary ammonium reaction product with thiotepa was subjected to a number of pharmacol. tests including anticancer activity.

IT 74052-25-8P 765900-94-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of quaternized reaction products of chelidonine

and related alkaloids for therapeutic uses, such as treatment of cancer)

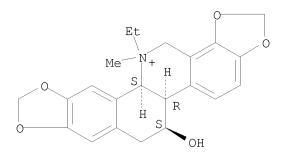
RN 74052-25-8 CAPLUS

CN Chelidoninium, 5-methyl- (9CI) (CA INDEX NAME)

RN 765900-94-5 CAPLUS

CN 1,3-Dioxolo[4,5-i][1,3]dioxolo[4,5]benzo[1,2-c]phenanthridinium, 13-ethyl-5b,6,7,12b,13,14-hexahydro-6-hydroxy-13-methyl-, (5bR,6S,12bS)-(CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:799472 CAPLUS

DOCUMENT NUMBER: 141:319999

TITLE: Quaternary chelidonine and alkaloid derivatives

preparation and antitumor activity

INVENTOR(S): Nowicky, Wassyl

PATENT ASSIGNEE(S): Austria

SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

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APPLICATION NO. DATE
     PATENT NO.
                         KIND DATE
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              NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
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20060412 EP 2004-719983 20040312
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                       T 20060914 JP 2006-504683 20040312
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A 20051219 NO 2005-4130
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PRIORITY APPLN. INFO.:
                                               CH 2001-2094
                                                                   A 20011115
                                               WO 2004-EP2637 A 20040312
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OTHER SOURCE(S): MARPAT 141:319999

AB The invention relates to alkaloid reaction products obtainable by reaction with an alkylating agent, preferably thiotepa, whereafter unreacted alkylating agent and other water-soluble compds. are removed from the reaction mixture by washing with water or a suitable aqueous solvent, whereafter

the reaction mixture is subjected to a treatment with strong acid, preferably HCl, to precipitate a water soluble salt of the reaction products. The

precipitated reaction products comprise at least one quaternary alkaloid derivative

and are suitable as drugs for prophylactic or therapeutic application, particularly in the treatment of immunol. or metabolic dysfunctions, and cancer. Chelidonine was reacted with thiotepa to give a quaternary ammonium derivative which was subjected to a number of pharmacol. tests including

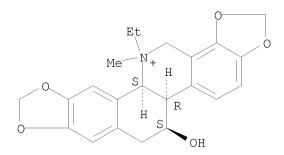
anticancer activity.

- RN 74052-25-8 CAPLUS
- CN Chelidoninium, 5-methyl- (9CI) (CA INDEX NAME)

RN 765900-94-5 CAPLUS

CN 1,3-Dioxolo[4,5-i][1,3]dioxolo[4,5]benzo[1,2-c]phenanthridinium, 13-ethyl-5b,6,7,12b,13,14-hexahydro-6-hydroxy-13-methyl-, (5bR,6S,12bS)-(CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1984:511231 CAPLUS

DOCUMENT NUMBER: 101:111231

ORIGINAL REFERENCE NO.: 101:16997a,17000a

TITLE: Stereochemistry of hydrobenzo[c]phenanthridine

alkaloids. Chiroptical properties and absolute

configuration of (+)-14-epicorynoline, (+)-corynoline,

(+)-chelidonine and related compounds

AUTHOR(S): Takao, Narao; Kamigauchi, Miyoko; Iwasa, Kinuko;

Morita, Noriko; Kuriyama, Kaoru

CORPORATE SOURCE: Women's Pharm. Univ., Kobe, 658, Japan

SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1984),

317(3), 223-37

CODEN: ARPMAS; ISSN: 0365-6233

DOCUMENT TYPE: Journal LANGUAGE: German

GΙ

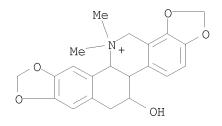
AB Correlation between the CD spectra and the stereochem. properties of (+)-14-epicorynoline (I, R = Me, R1 = β -H), (+)-corynoline (I, R = Me, R1 = α -H), (+)-corynoloxine, (+)-chelidonine (I, R = H, R1 = α -H) and their derivs. and of (+)-homochelidonine was determined

IT 72551-84-9P

Ι

RN 72551-84-9 CAPLUS

CN Chelidoninium, 5-methyl-, iodide (9CI) (CA INDEX NAME)



• I-

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1980:437185 CAPLUS

DOCUMENT NUMBER: 93:37185

ORIGINAL REFERENCE NO.: 93:6009a,6012a

TITLE: Anticancer and antibiotic properties of

N-methylchelidonine methyl sulfate Zbierska, Janina; Kowalewski, Zdzislaw

CORPORATE SOURCE: Inst. Przem. Zielarskiego, Poznan, 61-707, Pol.

SOURCE: Herba Polonica (1979), 25(4), 311-16

CODEN: HPBIA9; ISSN: 0018-0599

DOCUMENT TYPE: Journal LANGUAGE: Polish

GΙ

AUTHOR(S):

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

AB N-Methylchelidonine Me sulfate (I) [74052-26-9] was prepared from chelidonine [476-32-4] and di-Me sulfate. I showed greater antitumor activity than chelidonine in vitro, but similar activity in vivo. In antimicrobial testing in vitro, I showed activity similar to that of its parent against bacteria, but greater antifungal activity. I was 10-fold more active than chelidonine against Penicillium notatum.

IT 74052-26-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antimicrobial and antitumor activity of)

RN 74052-26-9 CAPLUS

CN Chelidoninium, 5-methyl-, methyl sulfate (9CI) (CA INDEX NAME)

Ι

CM 1

CRN 74052-25-8 CMF C21 H22 N O5

CM 2

CRN 21228-90-0 CMF C H3 O4 S

Me-0-SO3-

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1980:69348 CAPLUS

DOCUMENT NUMBER: 92:69348

ORIGINAL REFERENCE NO.: 92:11297a,11300a

TITLE: Anticancer and antibiotic properties of chelidonine

methyl iodide

AUTHOR(S): Zbierska, Janina; Kowalewski, Zdzislaw

10/549,433

CORPORATE SOURCE: Inst. Przem. Zielarskiego, Poznan, Pol. SOURCE: Herba Polonica (1979), 25(3), 209-17

CODEN: HPBIA9; ISSN: 0018-0599

DOCUMENT TYPE: Journal LANGUAGE: Polish

GΙ

AB The anticancer activity of chelidonine Me iodide (I) [72551-84-9] was superior to that of chelidonine [476-32-4] in in vitro expts., but the 2 compds. showed similar activities in in vivo tests. The antimicrobial activity of I was slightly greater than that of chelidonine when tested against 20 strains of microorganisms (bacteria, yeast, fungi). Physicochem. studies related to the structure of I are also reported.

IT 72551-84-9

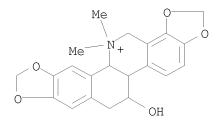
RL: BIOL (Biological study)

(antibiotic and neoplasm inhibiting activity of)

Ι

RN 72551-84-9 CAPLUS

CN Chelidoninium, 5-methyl-, iodide (9CI) (CA INDEX NAME)



• I-

L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1973:466627 CAPLUS

DOCUMENT NUMBER: 79:66627

ORIGINAL REFERENCE NO.: 79:10767a,10770a

TITLE: Alkaloids of Papaveraceae. XVII. Alkaloids of

Corydalis incisa. 10. Structure of

(+)-14-epicorynoline

AUTHOR(S): Takao, Narao; Bersch, Hans W.; Takao, Sachiko

CORPORATE SOURCE: Kobe Women's Coll. Pharm., Kobe, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1973), 21(5),

1096-102

CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal LANGUAGE: German

GI For diagram(s), see printed CA Issue.

AB (+)-14-Epicorynoline, isolated from Corydalis incisa had structure I based

on spectral and chemical properties.

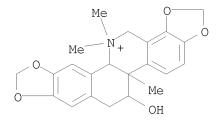
IT 42881-70-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 42881-70-9 CAPLUS

CN Chelidoninium, 5,13-dimethyl-, iodide, (11 α)- (9CI) (CA INDEX NAME)



L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1924:27180 CAPLUS

DOCUMENT NUMBER: 18:27180
ORIGINAL REFERENCE NO.: 18:3679b-e

TITLE: Chelidonium alkaloids. III

AUTHOR(S): Gadamer, J.; Dieterle, H.; Stichel, Anna; Theyssen,

M.; Winterfeld, K.

SOURCE: Arch. Pharm. (1924), 262, 249-77

DOCUMENT TYPE: Journal LANGUAGE: Unavailable

cf. C. A. 15, 1902. Since the same ring system must be involved in the 4 alkaloids: chelidonine, homochelidonine, sanquinarine and chelerythrine, expts. were undertaken to determine the position of the O atoms functioning in the OH, OMe and O2CH2 groups. The most readily available of these alkaloids, chelidonine, was chosen as the subject for special study the following derivs. being prepared and characterized: Nacetylanhydrochelidonine, C22H19O5N, from anhydrous chelidonine (c), Ac2O and AcONa at the boiling temperature, crystals, m. 152°, yields ψ-anhydrochelidonine, C20H17O4N, m. 89-89.5° (HCl salt needles, m. 204-5°). O-Acetylchelidonine, C22H21O6N, from (c) and Ac2O in the cold, tablets, m. 165-6°, $[\alpha]D$ 110° yields with Me2SO4 followed by boiling with NaOH solution methylanhydrochelidonine, C21H1904N, needles, m. 152-3° (the latter forming with MeI methylanhydrochelidonine methiodide, C22H22O4NI, needles, m. 242-3°). From the methiodide were obtained methylanhydrochelidonine methonitrate, C22H22O6N, needles, m. $260-1^{\circ}$, and methylanhydrochelidonine methochloride, needles, m. $215-7^{\circ}$. On exhaustive methylation with MeI, (c) yields at 120° chelidonine methine, C21H21O5N, rods, m. 145-6°, $[\alpha]$ D -271-3° (methiodide, C22H24O5NI, needles, m. $232-4^{\circ}$ (decomposition)). Exhaustive methylation with Me2SO4, however,

converts (c) into a base identical with that resulting from the action of

• I-

=> d his

(FILE 'HOME' ENTERED AT 14:25:41 ON 22 AUG 2008)

FILE 'REGISTRY' ENTERED AT 14:26:08 ON 22 AUG 2008

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 6 S L1 FULL

FILE 'CAPLUS' ENTERED AT 14:26:39 ON 22 AUG 2008

L4 7 S L3

=> d 11

L1 HAS NO ANSWERS

L1 STR

G1 H, Me, Et, n-Pr

Structure attributes must be viewed using STN Express query preparation.

=> s 13/thu

7 L3

1040588 THU/RL

L5

2 L3/THU

(L3 (L) THU/RL)

=> d ibib abs hitstr 1-2

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:299537 CAPLUS

DOCUMENT NUMBER: 144:357642

TITLE: Preparation of quaternized chelidonine and related

alkaloid derivatives for use in pharmaceutical

compositions

INVENTOR(S):
Nowicky, Wassyl

PATENT ASSIGNEE(S): Austria

SOURCE: PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.				KIN	D	DATE		APPLICATION NO.						DATE			
WO 2006032380				A1		2006	0330	WO 2005-EP9699						20050909			
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PRIORITY APPLN. INFO.:
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                         MARPAT 144:357642
OTHER SOURCE(S):
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GI

Quaternized alkaloid reaction products, such as I (R1 = OH, SH, alkyl, etc.), were prepared by reaction of an alkaloid with a quaternizing agent, such as thiotepa. These quaternized alkaloids were claimed for use in the treatment of immunol. or metabolic dysfunction, cancer, bacterial, fungal and viral infections, radiation damage, epilepsy, multiple sclerosis, skin diseases, postoperative wounds, pain, sleeping disease, herpes infections, influenza virus infections, skin tumors, allergies, chronic fatigue syndrome, osteoporosis, rheumatic diseases, and scars. Chelidonine quaternary ammonium reaction product with thiotepa was subjected to a number of pharmacol. tests including anticancer activity.

IT 74052-25-8P 765900-94-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of quaternized reaction products of chelidonine $% \left(\frac{1}{2}\right) =\frac{1}{2}\left(\frac{1}{2}\right) +\frac{1}{2}\left(\frac{1}{2}$

and related alkaloids for therapeutic uses, such as treatment of cancer)

RN 74052-25-8 CAPLUS

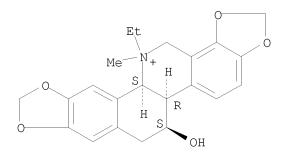
CN Chelidoninium, 5-methyl- (9CI) (CA INDEX NAME)

Ι

RN 765900-94-5 CAPLUS

CN 1,3-Dioxolo[4,5-i][1,3]dioxolo[4,5]benzo[1,2-c]phenanthridinium, 13-ethyl-5b,6,7,12b,13,14-hexahydro-6-hydroxy-13-methyl-, (5bR,6S,12bS)-(CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:799472 CAPLUS

DOCUMENT NUMBER: 141:319999

TITLE: Quaternary chelidonine and alkaloid derivatives

preparation and antitumor activity

INVENTOR(S): Nowicky, Wassyl

PATENT ASSIGNEE(S): Austria

SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.					KIND		DATE		APPLICATION NO.						DATE			
WO 2004082698				A1 20040930			WO 2004-EP2637					20040312						
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		ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	ΙΤ,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	
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			СН	2001-2094	A	20011115
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OTHER SOURCE(S): MARPAT 141:319999

AB The invention relates to alkaloid reaction products obtainable by reaction with an alkylating agent, preferably thiotepa, whereafter unreacted alkylating agent and other water-soluble compds. are removed from the reaction mixture by washing with water or a suitable aqueous solvent, whereafter

the reaction mixture is subjected to a treatment with strong acid, preferably HCl, to precipitate a water soluble salt of the reaction products. The

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and are suitable as drugs for prophylactic or therapeutic application, particularly in the treatment of immunol. or metabolic dysfunctions, and cancer. Chelidonine was reacted with thiotepa to give a quaternary ammonium derivative which was subjected to a number of pharmacol. tests including

anticancer activity.

IT 74052-25-8, Chelidoninium, 5-methyl- 765900-94-5

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (quaternary chelidonine and alkaloid derivs. preparation and antitumor activity)

RN 74052-25-8 CAPLUS

CN Chelidoninium, 5-methyl- (9CI) (CA INDEX NAME)

RN 765900-94-5 CAPLUS

CN 1,3-Dioxolo[4,5-i][1,3]dioxolo[4,5]benzo[1,2-c]phenanthridinium, 13-ethyl-5b,6,7,12b,13,14-hexahydro-6-hydroxy-13-methyl-, (5bR,6S,12bS)-(CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 14:25:41 ON 22 AUG 2008)

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FILE 'REGISTRY' ENTERED AT 14:26:08 ON 22 AUG 2008

L1 STRUCTURE UPLOADED

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